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NEWS 1	Web Page for STN Seminar Schedule - N. America
NEWS 2	DEC 01 ChemPort single article sales feature unavailable
NEWS 3	JUN 01 CAS REGISTRY Source of Registration (SR) searching enhanced on STN
NEWS 4	JUN 26 NUTRACEUT and PHARMAML no longer updated
NEWS 5	JUN 29 IMSCOPROFILE now reloaded monthly
NEWS 6	JUN 29 EPFULL adds Simultaneous Left and Right Truncation (SLART) to AB, MCLM, and TI fields
NEWS 7	JUL 09 PATDPAFULL adds Simultaneous Left and Right Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS 8	JUL 14 USGENE enhances coverage of patent sequence location (PSL) data
NEWS 9	JUL 27 CA/Caplus enhanced with new citing references
NEWS 10	JUL 16 GBFULL adds patent backfile data to 1855
NEWS 11	JUL 21 USGENE adds bibliographic and sequence information
NEWS 12	JUL 28 EPFULL adds first-page images and applicant-cited references
NEWS 13	JUL 28 INPADOCDB and INPAFAMDB add Russian legal status data
NEWS 14	AUG 10 Time limit for inactive STN sessions doubles to 40 minutes
NEWS 15	AUG 18 COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS 16	AUG 24 ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 17	AUG 24 CA/Caplus enhanced with legal status information for U.S. patents
NEWS 18	SEP 09 50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS 19	SEP 11 WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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DICTIONARY FILE UPDATES: 29 SEP 2009 HIGHEST RN 1186580-18-6

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L1 STRUCTURE UPLOADED

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=> s 11 sss full
FULL SEARCH INITIATED 11:26:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 795 TO ITERATE
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100.0% PROCESSED 795 ITERATIONS 42 ANSWERS
SEARCH TIME: 00:00:01

1.2 42 SEA SSS FULL 1.1

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COST IN U.S. DOLLARS
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ENTRY          SESSION
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FULL ESTIMATED COST
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FILE 'CAPLUS' ENTERED AT 11:26:23 ON 01 OCT 2009
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FILE COVERS 1907 - 1 Oct 2009 VOL 151 ISS 14
FILE LAST UPDATED: 30 Sep 2009 (20090930/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

Cplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/Cplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

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=> s 12
L3      5 L2

=> d 12 1-5 ibib ab
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:end

=> d 13 1-5 ibib ab
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L3	ANSWER 1 OF 5	CAPLUS	COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:	2009:543704	CAPLUS	
DOCUMENT NUMBER:	151:115902		
TITLE:	Development of a Second-Generation Antiandrogen for Treatment of Advanced Prostate Cancer		
AUTHOR(S):	Tran, Chris; Ouk, Samedy; Clegg, Nicola J.; Chen, Yu; Watson, Philip A.; Arora, Vivek; Wongvipat, John; Smith-Jones, Peter M.; Yoo, Dongwon; Kwon, Andrew; Wasielewska, Teresa; Welsbie, Derek; Chen, Charlie Degui; Higano, Celestia S.; Beer, Tomasz M.; Hung, David T.; Scher, Howard I.; Jung, Michael E.; Sawyers, Charles L.		
CORPORATE SOURCE:	Human Oncology and Pathogenesis Program, Memorial Sloan-Kettering Cancer Center, New York, NY, 10065, USA		
SOURCE:	Science (Washington, DC, United States) (2009), 324(5928), 787-790		
PUBLISHER:	CODEN: SCIEAS; ISSN: 0036-8075		
DOCUMENT TYPE:	American Association for the Advancement of Science		
LANGUAGE:	Journal		
AB	English		
	Metastatic prostate cancer is treated with drugs that antagonize androgen action, but most patients progress to a more aggressive form of the disease called castration-resistant prostate cancer, driven by elevated		

expression of the androgen receptor. Here we characterize the diarylthiohydantoins RD162 and MDV3100, two compds. optimized from a screen for nonsteroidal antiandrogens that retain activity in the setting of increased androgen receptor expression. Both compds. bind to the androgen receptor with greater relative affinity than the clin. used antiandrogen bicalutamide, reduce the efficiency of its nuclear translocation, and impair both DNA binding to androgen response elements and recruitment of coactivators. RD162 and MDV3100 are orally available and induce tumor regression in mouse models of castration-resistant human prostate cancer. Of the first 30 patients treated with MDV3100 in a Phase I/II clin. trial, 13 of 30 (43%) showed sustained declines (by >50%) in serum concns. of prostate-specific antigen, a biomarker of prostate cancer. These compds. thus appear to be promising candidates for treatment of advanced prostate cancer.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009524196 CAPLUS
DOCUMENT NUMBER: 150:472707
TITLE: Diarylhydantoin derivatives as androgen receptor inhibitors and their preparation, pharmaceutical compositions and use in the treatment of hormone refractory prostate cancer
INVENTOR(S): Jung, Michael E.; Yoo, Dongwon; Sawyers, Charles L.; Tran, Chris
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 58pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009055053	A2	20090430	WO 2008-US12149	20081024
WO 2009055053	A3	20090611		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20090111864	A1	20090430	US 2008-257743	20081024

PRIORITY APPLN. INFO.: US 2007-996076P P 20071026

OTHER SOURCE(S): MARPAT 150:472707

AB The invention relates to diarylhydantoin compds. of formula I and to methods for synthesizing them and using them in the treatment of hormone refractory prostate cancer. Compds. of formula I wherein R1 and R2 are independently (un)substituted C8 or fewer alkyl; R1R2 may take together with the carbon atom attached to form (un)substituted cycloalkyl; R3 is H, CN, formyl, acyl, 2-imidazolyl, 2-dihydroimidazolyl and

(methylamino)iminomethyl; R4 is H, F, Cl, Br and I; R11 and R12 are independently H and Me; are claimed. Example compound II was prepared by a multi-step procedure (procedure given). All the invention compds. were evaluated for their androgen receptor inhibitory activity.

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:1242575 CAPLUS
 DOCUMENT NUMBER: 147:502363
 TITLE: Preparation of diarylthiohydantoins as androgen receptor antagonists for the treatment of hormone refractory prostate cancer
 INVENTOR(S): Jung, Michael; Yoo, Dongwon; Sawyers, Charles L.; Tran, Chris
 PATENT ASSIGNEE(S): Regents of the University of California, USA
 SOURCE: U.S. Pat. Appl. Publ., 63pp.
 CODEN: USXXC0
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070254933	A1	20071101	US 2007-730168	20070329
US 20080139634	A2	20080612		
AU 2007245022	A1	20071108	AU 2007-245022	20070329
CA 2648139	A1	20071108	CA 2007-2648139	20070329
WO 2007127010	A2	20071108	WO 2007-US7854	20070329
WO 2007127010	A9	20080522		
WO 2007127010	A3	20080731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 2013187	A2	20090114	EP 2007-754380	20070329
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009531449	T	20090903	JP 2009-503016	20070329
MX 2008012492	A	20081212	MX 2008-12492	20080929
NO 2008004480	A	20081219	NO 2008-4480	20081023
KR 2009009215	A	20090122	KR 2008-726364	20081028
IN 2008DN09073	A	20090320	IN 2008-DN9073	20081029
CN 101460467	A	20090617	CN 2007-80020099	20081201
PRIORITY APPLN. INFO.:			US 2006-786837P	P 20060329
			WO 2007-US7854	W 20070329

OTHER SOURCE(S): MARPAT 147:502363
 AB Title compds. I [wherein R1, R2 = Me; R1 and R2 together with the carbon to which they are linked form a 4/5-membered cycloalkyl; R3 = carbamoyl, alkylcarbamoyl, carbamoylalkyl, etc.; R4 = H or F] were prepared as androgen receptor antagonists. For instance, II was synthesized in 25% yield by cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile (preparation given) with N-methyl-2-4-[(1,1-dimethylcyanomethyl)amino]benzamide (preparation

given). Extensive biol. tests of I and related compds. were carried out, and their relationship with structures was reported. The invented compds. and their pharmaceutical compns. are useful for the treatment of hormone refractory prostate cancer.

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 20061228845 CAPLUS
 DOCUMENT NUMBER: 145:505452
 TITLE: Preparation of diarylyhydantoin compounds as androgen receptor antagonists useful against hormone refractory prostate cancer
 INVENTOR(S): Sawyers, Charles L.; Jung, Michael E.; Chen, Charlie D.; Ouk, Samedy; Welsbie, Derek; Tran, Chris; Wongvipat, John; Yoo, Dongwon
 PATENT ASSIGNEE(S): The Regents of the University of California, USA
 SOURCE: PCT Int. Appl., 166pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006124118	A1	20061123	WO 2006-US11417	20060329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006248109	A1	20061123	AU 2006-248109	20060329
CA 2608436	A1	20061123	CA 2006-2608436	20060329
EP 1893196	A1	20080305	EP 2006-748863	20060329
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JP 2008540523	T	20081120	JP 2008-511114	20060329
US 20070004753	A1	20070104	US 2006-433829	20060515
MX 2007014132	A	20080409	MX 2007-14132	20071112
NO 2007006401	A	20080208	NO 2007-6401	20071212
KR 2008014039	A	20080213	KR 2007-729188	20071213
IN 2007DN09668	A	20080620	IN 2007-DN5668	20071213
CN 101222922	A	20080716	CN 2006-80025545	20080114
PRIORITY APPLN. INFO.:				
		US 2005-680835P	P	20050513
		US 2005-750351P	P	20051215
		US 2006-756552P	P	20060106
		US 2006-785978P	P	20060327
		WO 2006-US11417	W	20060329

OTHER SOURCE(S): MARPAT 145:505452
 AB The present invention relates to diarylyhydantoin compds., including diarylthiohydantoins (shown as I; variables defined below; e.g. N-methyl-4-[7-(4-cyano-3-trifluoromethylphenyl)-8-oxo-6-thioxo-5,7-diazaspiro[3.4]octan-5-yl]-2-fluorobenzamide (shown as II)), and methods for synthesizing them and using them in the treatment of hormone

refractory prostate cancer. For I: X = trifluoromethyl and iodo; W = O and NR5; R5 = H, Me, and -C:(D)-E-G, (D is S or O and E is N or O and G is (un)substituted alkyl or aryl, or D is S or O and E-G together are C1-C4 lower alkyl); R1 and R2 together comprise eight or fewer C atoms and = (un)substituted alkyl including haloalkyl, and, together with the C to which they are linked, (un)substituted cycloalkyl; R3 = H, halogen, Me, Cl-C4 alkoxy, formyl, haloacetoxy, trifluoromethyl, cyano, nitro, hydroxy, Ph, amino, methylcarbamoyl, methoxycarbonyl, acetamido, methanesulfonamino, methanesulfonyl, 4-methanesulfonyl-1-piperazinyl, piperazinyl, and C1-C6 alkyl or alkenyl (un)substituted with hydroxy, methoxycarbonyl, cyano, amino, amido, nitro, (un)substituted carbamoyl including methylcarbamoyl, dimethylcarbamoyl, and hydroxyethylcarbamoyl; R3 is not methylaminomethyl or dimethylaminomethyl; and R4 = H, halogen, alkyl, and haloalkyl. Methods of preparation are claimed and preps. and/or characterization data for .apprx.60 examples of I are included. For example, II was prepared in 4 steps (91, 94, 89, 57 % yields, resp.) involving intermediates N-methyl-2-fluoro-4-nitrobenzamide, N-methyl-2-fluoro-4-aminobenzamide, and N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide; the last step comprises cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile (preparation given) with N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide in DMF under microwave irradiation at 80° for 16 h followed by refluxing for 3 h after addition of MeOH and 2 N HCl.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:235075 CAPLUS
DOCUMENT NUMBER: 1441:312085
TITLE: Preparation of imidazolidine derivatives as antiandrogens
INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru; Nakamura, Mitsuaki; Shiraishi, Takuya; Yoshino, Hitoshi; Emura, Takashi; Honma, Akie; Onuma, Etsuro; Kawata, Hiromitsu; Taniguchi, Kenji
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 206 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006028226	A1	20060316	WO 2005-JP16664	20050909
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2005280908 A1 20060316 AU 2005-280908 20050909

CA 2579886	A1	20060316	CA 2005-2579886	20050909
EP 1790640	A1	20070530	EP 2005-782020	20050909
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101048381	A	20071003	CN 2005-80036972	20050909
KR 2007106969	A	20071106	KR 2007-707876	20070406
PRIORITY APPLN. INFO.:			JP 2004-262888	A 20040909
			WO 2005-JP16664	W 20050909
OTHER SOURCE(S): MARPAT 144:312085				
AB The title compds. I [Q = Q1, etc.; A = H, halo, ORa, etc.; E = alkyl; m = integer from 0 to 3; R2, R3 = alkyl; X1, X2 = O, S; Y = (un)substituted arylene, divalent (un)substituted 5- or 6-membered monocyclic heterocyclic group or divalent 8 to 10 membered (un)substituted fused-ring heterocyclic group; Z = CO, CO2, SO2, etc.; R1 = H, OH, (un)substituted alkyl, etc.; Ra = H, (un)substituted alkyl, (un)substituted alkylcarbonyl, etc.] are prepared. Thus, 4-[3-(1-ethoxycarbonylpiperidin-4-yl)-4,4-dimethyl-5-oxo-2-thioxoimidazolidin-1-yl]-2-trifluoromethylbenzonitrile was prepared in a multistep process. The androgen antagonist activities of compds. of this invention were demonstrated.				
OS.CITING REF COUNT:		3	THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)	
REFERENCE COUNT:		24	THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT	

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IND -----	Indexing data
IPC -----	International Patent Classifications
MAX -----	ALL, plus Patent FAM, RE
PATS -----	PI, SO
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IABS -----	ABS, indented with text labels
IALL -----	ALL, indented with text labels
IBIB -----	BIB, indented with text labels
IMAX -----	MAX, indented with text labels
ISTD -----	STD, indented with text labels
OBIB -----	AN, plus Bibliographic Data (original)
OIBIB -----	OBIB, indented with text labels
SBIB -----	BIB, no citations

S1BIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
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ENTER DISPLAY FORMAT (BIB):end

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L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:543704 CAPLUS
DOCUMENT NUMBER: 151:115902
TITLE: Development of a Second-Generation Antiandrogen for
Treatment of Advanced Prostate Cancer
AUTHOR(S): Tran, Chris; Ouk, Samedy; Clegg, Nicola J.; Chen, Yu;
Watson, Philip A.; Arora, Vivek; Wongvipat, John;
Smith-Jones, Peter M.; Yoo, Dongwon; Kwon, Andrew;
Wasielewska, Teresa; Welsbie, Derek; Chen, Charlie
Degui; Higano, Celestia S.; Beer, Tomasz M.; Hung,
David T.; Scher, Howard I.; Jung, Michael E.; Sawyers,
Charles L.
CORPORATE SOURCE: Human Oncology and Pathogenesis Program, Memorial
Sloan-Kettering Cancer Center, New York, NY, 10065,
USA
SOURCE: Science (Washington, DC, United States) (2009),
324(5928), 787-790
CODEN: SCIEAS; ISSN: 0036-8075
PUBLISHER: American Association for the Advancement of Science
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Metastatic prostate cancer is treated with drugs that antagonize androgen
action, but most patients progress to a more aggressive form of the
disease called castration-resistant prostate cancer, driven by elevated
expression of the androgen receptor. Here we characterize the
diarylthiophydrantins RD162 and MDV3100, two compounds optimized from a
screen for nonsteroidal antiandrogens that retain activity in the setting
of increased androgen receptor expression. Both compounds bind to the
androgen receptor with greater relative affinity than the clinically used
antiandrogen bicalutamide, reduce the efficiency of its nuclear

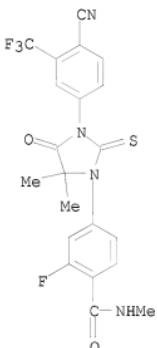
translocation, and impair both DNA binding to androgen response elements and recruitment of coactivators. RD162 and MDV3100 are orally available and induce tumor regression in mouse models of castration-resistant human prostate cancer. Of the first 30 patients treated with MDV3100 in a Phase I/II clin. trial, 13 of 30 (43%) showed sustained declines (by >50%) in serum concns. of prostate-specific antigen, a biomarker of prostate cancer. These compds. thus appear to be promising candidates for treatment of advanced prostate cancer.

IT 915087-33-1, MDV 3100

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(development of second-generation antiandrogen for treatment of advanced prostate cancer)

RN 915087-33-1 CAPLUS

CN Benzamide, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]-2-fluoro-N-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:524196 CAPLUS

DOCUMENT NUMBER: 150:472707

TITLE: Diarylhydantoin derivatives as androgen receptor inhibitors and their preparation, pharmaceutical compositions and use in the treatment of hormone refractory prostate cancer

INVENTOR(S): Jung, Michael E.; Yoo, Dongwon; Sawyers, Charles L.; Tran, Chris

PATENT ASSIGNEE(S): The Regents of the University of California, USA

SOURCE: PCT Int. Appl., 58pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009055053	A2	20090430	WO 2008-US12149	20081024
WO 2009055053	A3	20090611		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, NZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20090111864	A1	20090430	US 2008-257743	20081024
URITY APPLN. INFO.:			US 2007-996076P	P 20071026

PRIORITY APPLN. INFO.: US 2007-996076P P 20071026

OTHER SOURCE(S): MARPAT 150:472707

AB The invention relates to diarylhydant.

AB The invention relates to diarylmethanone compds. of formula I and to methods for synthesizing them and using them in the treatment of hormone refractory prostate cancer. Compds. of formula I wherein R1 and R2 are independently (un)substituted C8 or fewer alkyl; R1R2 may take together with the carbon atom attached to form (un)substituted cycloalkyl; R3 is H, CN, formyl, acyl, 2-imidazolyl, 2-dihydroimidazolyl and (methylamino)iminomethyl; R4 is H, F, Cl, Br and I; R11 and R12 are independently H and Me; are claimed. Example compound II was prepared by a multi-step procedure (procedure given). All the invention compds. were evaluated for their androgen receptor inhibitory activity.

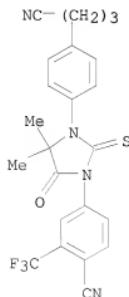
IT 1146974-94-8P 1146974-96-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of diarylhydantoin derivs. as androgen receptor inhibitors useful in the treatment of hormone refractory prostate cancer)

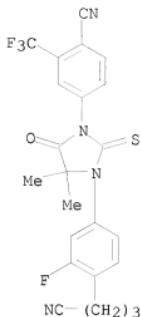
RN 1146974-94-8 CAPIUS

CN Benzenebutanenitrile, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]- (CA INDEX NAME)



RN 1146974-96-0 CAPLUS

CN Benzenebutanenitrile, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]-2-fluoro- (CA INDEX NAME)



L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1242575 CAPLUS

DOCUMENT NUMBER: 147:502363

TITLE: Preparation of diarylthiohydantoins as androgen receptor antagonists for the treatment of hormone refractory prostate cancer

INVENTOR(S): Jung, Michael; Yoo, Dongwon; Sawyers, Charles L.; Tran, Chris

PATENT ASSIGNEE(S): Regents of the University of California, USA

SOURCE: U.S. Pat. Appl. Publ., 63pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070254933	A1	20071101	US 2007-730168	20070329
US 20080139634	A2	20080612		
AU 2007245022	A1	20071108	AU 2007-245022	20070329
CA 2648139	A1	20071108	CA 2007-2648139	20070329
WO 2007127010	A2	20071108	WO 2007-US7854	20070329
WO 2007127010	A9	20080522		
WO 2007127010	A3	20080731		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,				

GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 2013187	A2	20090114	EP 2007-754380	20070329
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009531449	T	20090903	JP 2009-503016	20070329
MX 2008012492	A	20081212	MX 2008-12492	20080929
NO 2008004480	A	20081219	NO 2008-4480	20081023
KR 2009009215	A	20090122	KR 2008-726364	20081028
IN 2008DN09073	A	20090320	IN 2008-DN9073	20081029
CN 101460467	A	20090617	CN 2007-80020099	20081201
PRIORITY APPLN. INFO.:		US 2006-786837P	P	20060329
		WO 2007-US7854	W	20070329

OTHER SOURCE(S): MARPAT 147:502363

AB Title compds. I [wherein R1, R2 = Me; R1 and R2 together with the carbon to which they are linked form a 4/5-membered cycloalkyl; R3 = carbamoyl, alkylcarbamoyl, carbamoylalkyl, etc.]; R4 = H or F] were prepared as androgen receptor antagonists. For instance, II was synthesized in 25% yield by cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile (preparation given) with N-methyl-2-4-[(1,1-dimethylcyanomethyl)amino]benzamide (preparation given). Extensive biol. tests of I and related compds. were carried out, and their relationship with structures was reported. The invented compds. and their pharmaceutical compns. are useful for the treatment of hormone refractory prostate cancer.

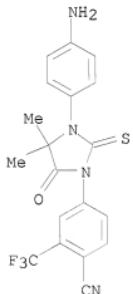
IT	915086-29-2P	915086-32-7P	915086-33-8P
	915086-35-0P	915086-36-1P	915086-63-4P
	915086-66-7P	915087-13-7P	915087-14-8P
	915087-15-9P	915087-16-0P	915087-33-1P
	915087-52-4P	915087-59-1P	915087-60-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylthiohydantoins as androgen receptor antagonists for treatment of hormone refractory prostate cancer)

RN 915086-29-2 CAPLUS

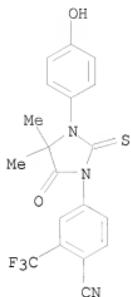
CN Benzonitrile, 4-[3-(4-aminophenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



BN 915086-32-7 CAPLUS

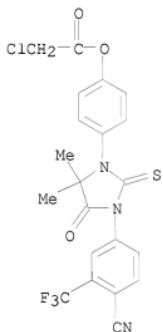
CN Benzonitrile, 4-[3-(4-hydroxyphenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-

imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



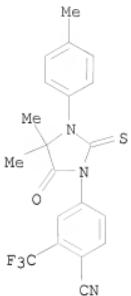
RN 915086-33-8 CAPLUS

CN Acetic acid, 2-chloro-, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl ester (CA INDEX NAME)



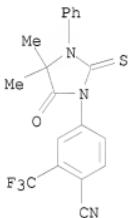
RN 915086-35-0 CAPLUS

CN Benzonitrile, 4-[4,4-dimethyl-3-(4-methylphenyl)-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



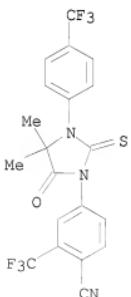
RN 915086-36-1 CAPLUS

CN Benzonitrile, 4-(4,4-dimethyl-5-oxo-3-phenyl-2-thioxo-1-imidazolidinyl)-2-(trifluoromethyl)- (CA INDEX NAME)

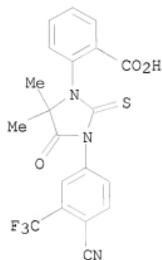


RN 915086-63-4 CAPLUS

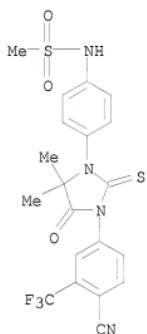
CN Benzonitrile, 4-[4,4-dimethyl-5-oxo-2-thioxo-3-[4-(trifluoromethyl)phenyl]-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



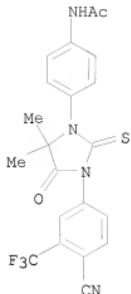
RN 915086-66-7 CAPLUS
CN Benzoic acid, 2-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]- (CA INDEX NAME)



RN 915087-13-7 CAPLUS
CN Methanesulfonamide, N-[4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]- (CA INDEX NAME)

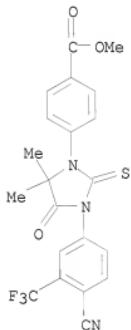


RN 915087-14-8 CAPLUS
CN Acetamide, N-[4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]- (CA INDEX NAME)



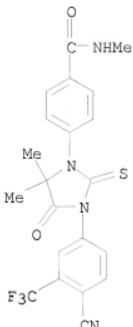
RN 915087-15-9 CAPLUS

CN Benzoic acid, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]-, methyl ester (CA INDEX NAME)



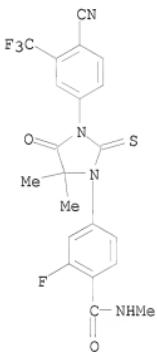
RN 915087-16-0 CAPLUS

CN Benzamide, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]-N-methyl- (CA INDEX NAME)



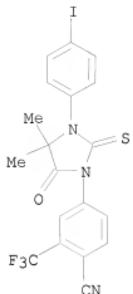
BN 915087-33-1 CAPLUS

CN Benzamide, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]-2-fluoro-N-methyl- (CA INDEX NAME)



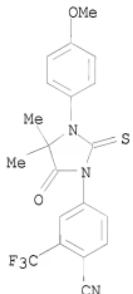
BN 915087-52-4 CAPLUS

CN Benzonitrile, 4-[3-(4-iodophenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



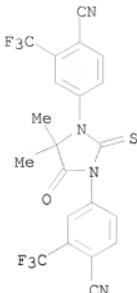
RN 915087-59-1 CAPLUS

CN Benzonitrile, 4-[3-(4-methoxyphenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)

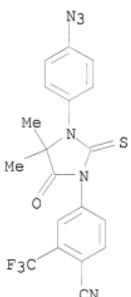


RN 915087-60-4 CAPLUS

CN Benzonitrile, 4,4'-(4,4-dimethyl-5-oxo-2-thioxo-1,3-imidazolidinediyl)bis[2-(trifluoromethyl)- (CA INDEX NAME)



IT 915086-30-5
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (reference; preparation of diarylthiohydantoins as androgen receptor antagonists
 for treatment of hormone refractory prostate cancer)
 RN 915086-30-5 CAPLUS
 CN Benzonitrile, 4-[3-(4-azidophenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006:1228845 CAPLUS
 DOCUMENT NUMBER: 145:505452
 TITLE: Preparation of diarylhydantoin compounds as androgen receptor antagonists useful against hormone refractory prostate cancer
 INVENTOR(S): Sawyers, Charles L.; Jung, Michael E.; Chen, Charlie D.; Ouk, Samedy; Welsbie, Derek; Tran, Chris; Wongvipat, John; Yoo, Dongwon
 PATENT ASSIGNEE(S): The Regents of the University of California, USA
 SOURCE: PCT Int. Appl., 166pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006124118	A1	20061123	WO 2006-US11417	20060329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2006248109	A1	20061123	AU 2006-248109	20060329
CA 2608436	A1	20061123	CA 2006-2608436	20060329
EP 1893196	A1	20080305	EP 2006-748863	20060329
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JP 2008540523	T	20081120	JP 2008-511114	20060329
US 20070004753	A1	20070104	US 2006-433829	20060515
MX 2007014132	A	20080409	MX 2007-14132	20071112
NO 2007006401	A	20080208	NO 2007-6401	20071212
KR 2008014039	A	20080213	KR 2007-729188	20071213
IN 2007DN09668	A	20080620	IN 2007-DN9668	20071213
CN 101222922	A	20080716	CN 2006-80025545	20080114
PRIORITY APPLN. INFO.:			US 2005-680835P	P 20050513
			US 2005-750351P	P 20051215
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OTHER SOURCE(S): MARPAT 145:505452

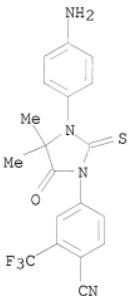
AB The present invention relates to diarylhydantoin compds., including diaryliithiohydantins (shown as I; variables defined below; e.g. N-methyl-4-[7-(4-cyano-3-trifluoromethylphenyl)-8-oxo-6-thioxo-5,7-diazaspiro[3.4]octan-5-yl]-2-fluorobenzamide (shown as II)), and methods for synthesizing them and using them in the treatment of hormone refractory prostate cancer. For I: X = trifluoromethyl and iodo; W = O and NR5; R5 = H, Me, and -C:(D)-E-G, (D is S or O and E is N or O and G is (un)substituted alkyl or aryl, or D is S or O and E-G together are C1-C4 lower alkyl); R1 and R2 together comprise eight or fewer C atoms and = (un)substituted alkyl including haloalkyl, and, together with the C to which they are linked, (un)substituted cycloalkyl; R3 = H, halogen, Me, C1-C4 alkoxy, formyl, haloacetoxy, trifluoromethyl, cyano, nitro, hydroxy, Ph, amino, methylcarbamoyl, methoxycarbonyl, acetamido, methanesulfonamino, methanesulfonyl, 4-methanesulfonyl-1-piperazinyl, piperazinyl, and C1-C6 alkyl or alkenyl (un)substituted with hydroxy, methoxycarbonyl, cyano, amino, amido, nitro, (un)substituted carbamoyl including methylcarbamoyl, dimethylcarbamoyl, and hydroxyethylcarbamoyl; R3 is not methylaminomethyl or dimethylaminomethyl; and R4 = H, halogen, alkyl, and haloalkyl. Methods of preparation are claimed and preps. and/or characterization data for approx. 60 examples of I are included. For example, II was prepared in 4 steps (91, 94, 89, 57 % yields, resp.) involving intermediates N-methyl-2-fluoro-4-nitrobenzamide,

N-methyl-2-fluoro-4-aminobenzamide, and
N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide; the last step
comprises cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile
(preparation given) with N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide
in DMF under microwave irradiation at 80° for 16 h followed by
refluxing for 3 h after addition of MeOH and 2 N HCl.

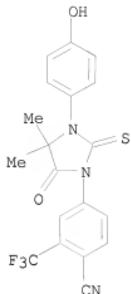
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915086-32-7P, 4-[3-(4-Hydroxyphenyl)-4,4-dimethyl-5-oxo-2-thioxoimidazolidin-1-yl]-2-trifluoromethylbenzonitrile
915087-15-9P, 4-[3-(4-Cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidin-1-yl]benzoic acid methyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of diarylydantoin compds. as androgen receptor antagonists useful against hormone refractory prostate cancer)

RN 915086-29-2 CAPLUS

CN Benzonitrile, 4-[3-(4-aminophenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)

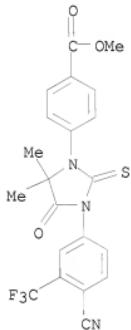


RN 915086-32-7 CAPLUS
CN Benzonitrile, 4-[3-(4-hydroxyphenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



RN 915087-15-9 CAPLUS

CN Benzoic acid, 4-[3-(4-cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]-, methyl ester (CA INDEX NAME)



IT 915086-30-5P, 4-[3-(4-Azidophenyl)-4,4-dimethyl-5-oxo-2-thioxoimidazolidin-1-yl]-2-trifluoromethylbenzonitrile
 915086-33-8P, Chloroacetic acid
 4-[3-(4-cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidin-1-yl]phenyl ester 915086-35-0P,
 4-[3-(4-Methylphenyl)-4,4-dimethyl-5-oxo-2-thioxoimidazolidin-1-yl]-2-trifluoromethylbenzonitrile 915086-36-1P,
 4-(3-Phenyl-4,4-dimethyl-5-oxo-2-thioxoimidazolidin-1-yl)-2-trifluoromethylbenzonitrile 915086-63-4P,
 4-[4,4-Dimethyl-5-oxo-2-thioxo-3-(4-trifluoromethylphenyl)imidazolidin-1-yl]-2-trifluoromethylbenzonitrile 915086-66-7P,
 2-[3-(4-Cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidin-1-yl]benzoic acid 915087-13-7P,
 N-[4-[3-(4-Cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidin-1-yl]phenyl]methanesulfonamide 915087-14-8P
 , N-[4-[3-(4-Cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidin-1-yl]phenyl]acetamide 915087-16-0P,

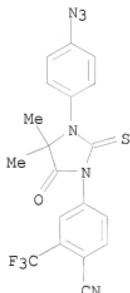
4-[3-(4-Cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidin-1-yl]-N-methylbenzamide 915087-33-1P
915087-52-4P 915087-59-1P 915087-60-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of diarylyhydantoin compds. as androgen receptor antagonists useful against hormone refractory prostate cancer)

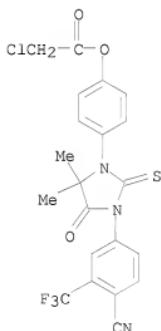
RN 915086-30-5 CAPLUS

CN Benzonitrile, 4-[3-(4-azidophenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



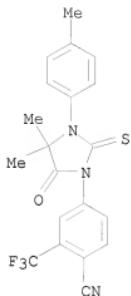
RN 915086-33-8 CAPLUS

CN Acetic acid, 2-chloro-, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl ester (CA INDEX NAME)



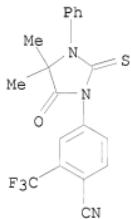
RN 915086-35-0 CAPLUS

CN Benzonitrile, 4-[4,4-dimethyl-3-(4-methylphenyl)-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



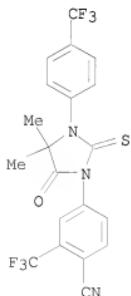
RN 915086-36-1 CAPLUS

CN Benzonitrile, 4-(4,4-dimethyl-5-oxo-3-phenyl-2-thioxo-1-imidazolidinyl)-2-(trifluoromethyl)- (CA INDEX NAME)

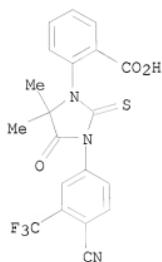


RN 915086-63-4 CAPLUS

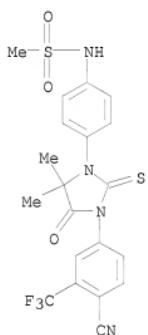
CN Benzonitrile, 4-[4,4-dimethyl-5-oxo-2-thioxo-3-[4-(trifluoromethyl)phenyl]-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



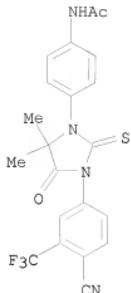
RN 915086-66-7 CAPLUS
CN Benzoic acid, 2-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]- (CA INDEX NAME)



RN 915087-13-7 CAPLUS
CN Methanesulfonamide, N-[4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]- (CA INDEX NAME)

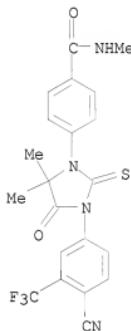


RN 915087-14-8 CAPLUS
CN Acetamide, N-[4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]- (CA INDEX NAME)



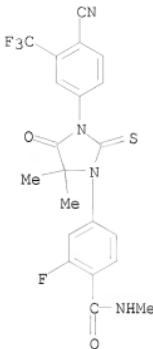
RN 915087-16-0 CAPLUS

CN Benzamide, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]-N-methyl- (CA INDEX NAME)



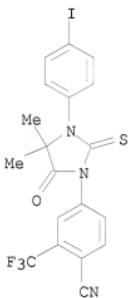
RN 915087-33-1 CAPLUS

CN Benzamide, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]-2-fluoro-N-methyl- (CA INDEX NAME)



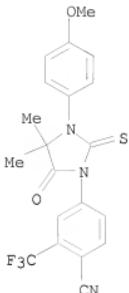
RN 915087-52-4 CAPLUS

CN Benzonitrile, 4-[3-(4-iodophenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)

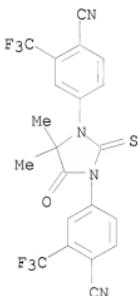


RN 915087-59-1 CAPLUS

CN Benzonitrile, 4-[3-(4-methoxyphenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



RN 915087-60-4 CAPLUS
 CN Benzonitrile, 4,4'-(4,4-dimethyl-5-oxo-2-thioxo-1,3-imidazolidinediyl)bis[2-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
 (1 CITINGS)
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006235075 CAPLUS
 DOCUMENT NUMBER: 144312085
 TITLE: Preparation of imidazolidine derivatives as
 antiandrogens
 INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru;
 Nakamura, Mitsuaki; Shiraishi, Takuya; Yoshino,
 Hitoshi; Emura, Takashi; Honma, Akie; Onuma, Etsuro;
 Kawata, Hiromitsu; Taniguchi, Kenji
 PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
 SOURCE: PCT Int. Appl., 206 pp.
 DOCUMENT TYPE: Patent
 CODEN: PIXXD2

LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006028226	A1	20060316	WO 2005-JP16664	20050909
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, NZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005280908	A1	20060316	AU 2005-280908	20050909
CA 2579886	A1	20060316	CA 2005-2579886	20050909
EP 1790640	A1	20070530	EP 2005-782020	20050909
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101048381	A	20071003	CN 2005-80036972	20050909
KR 2007106969	A	20071106	KR 2007-707876	20070406
PRIORITY APPLN. INFO.:			JP 2004-262888	A 20040909
			WO 2005-JP16664	W 20050909

OTHER SOURCE(S): MARPAT 144:312085

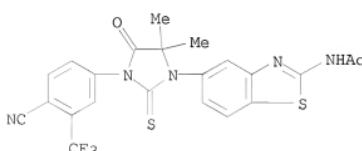
AB The title compds. I [Q = Q1, etc.; A = H, halo, ORa, etc.; E = alkyl; m = integer from 0 to 3; R2, R3 = alkyl; X1, X2 = O, S; Y = (un)substituted arylene, divalent (un)substituted 5- or 6-membered monocyclic heterocyclic group or divalent 8 to 10 membered (un)substituted fused-ring heterocyclic group; Z = CO, CO2, SO2, etc.; R1 = H, OH, (un)substituted alkyl, etc.; Ra = H, (un)substituted alkyl, (un)substituted alkylcarbonyl, etc.] are prepared. Thus, 4-[3-(1-ethoxycarbonylpiperidin-4-yl)-4,4-dimethyl-5-oxo-2-thioxoimidazolidin-1-yl]-2-trifluoromethylbenzonitrile was prepared in a multistep process. The androgen antagonist activities of compds. of this invention were demonstrated.

IT 879613-27-1P 879613-81-7P 879614-33-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of imidazolidine derivs. as antiandrogens)

RN 879613-27-1 CAPLUS

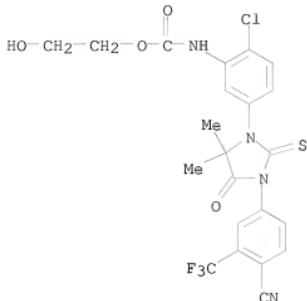
CN Acetamide, N-[5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]-2-benzothiazolyl]- (CA INDEX NAME)



RN 879613-81-7 CAPLUS

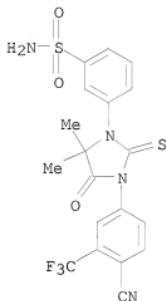
CN Carbamic acid, [2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-

dimethyl-4-oxo-2-thioxo-1-imidazolidinylphenyl]-, 2-hydroxyethyl ester
(9CI) (CA INDEX NAME)



RN 879614-33-2 CAPLUS

CN Benzenesulfonamide, 3-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]- (CA INDEX NAME)



IT 879613-32-8P 879613-38-4P 879613-87-3P

879613-93-1P 879613-96-4P 879613-97-5P

879614-17-2P 879614-35-4P 879614-42-3P

879614-43-4P 879614-58-1P 879614-60-5P

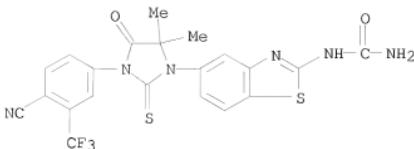
879614-63-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

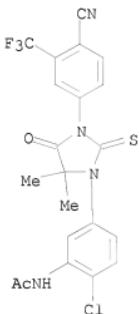
(preparation of imidazolidine derivs. as antiandrogens)

RN 879613-32-8 CAPLUS

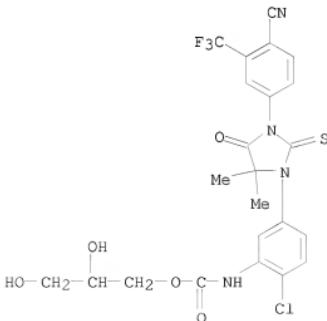
CN Urea, N-[5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]-2-benzothiazolyl]- (CA INDEX NAME)



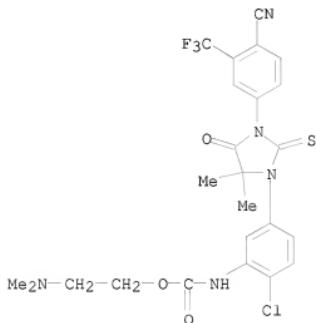
RN 879613-38-4 CAPLUS
 CN Acetamide, N-[2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]imidazolidinyl]phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinylphenyl]- (CA INDEX NAME)



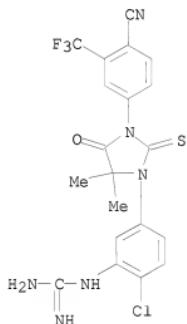
RN 879613-87-3 CAPLUS
 CN Carbamic acid, [2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]imidazolidinyl]phenyl]-, 2,3-dihydroxypropyl ester (9CI) (CA INDEX NAME)



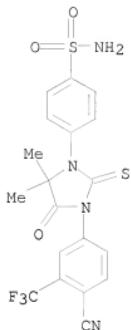
RN 879613-93-1 CAPLUS
CN Carbanic acid, [2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]-, 2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)



RN 879613-96-4 CAPLUS
CN Guanidine, N-[2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]- (CA INDEX NAME)

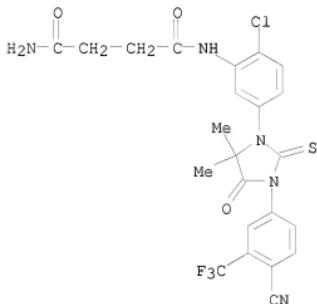


RN 879613-97-5 CAPLUS
CN Benzenesulfonamide, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]- (CA INDEX NAME)



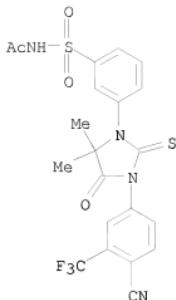
RN 879614-17-2 CAPLUS

CN Butanediamide, N1-[2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]- (CA INDEX NAME)



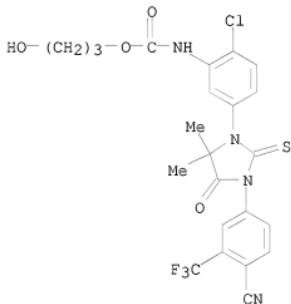
RN 879614-35-4 CAPLUS

CN Acetamide, N-[{3-[{4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl}phenyl]sulfonyl]- (CA INDEX NAME)



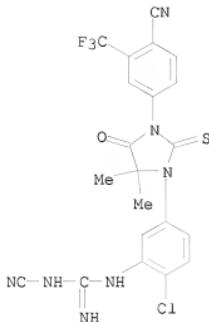
RN 879614-42-3 CAPLUS

CN Carbamic acid, [2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]-, 3-hydroxypropyl ester (9CI) (CA INDEX NAME)



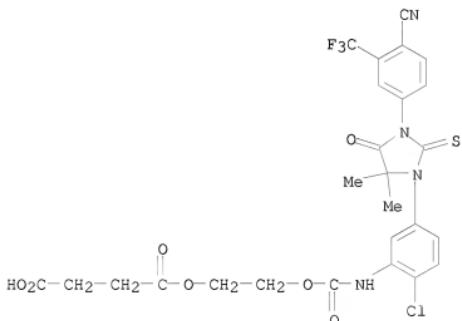
RN 879614-43-4 CAPLUS

CN Guanidine, N-[2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]-N'-cyano- (CA INDEX NAME)



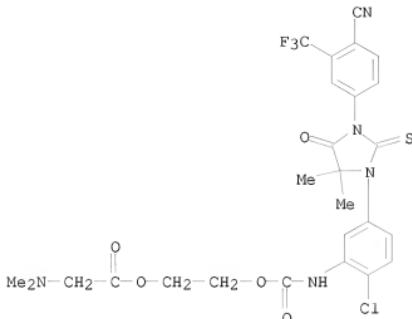
RN 879614-58-1 CAPLUS

CN Butanedioic acid, 1-[2-[[[2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]amino]carbonyloxy]ethyl ester (CA INDEX NAME)



RN 879614-60-5 CAPLUS

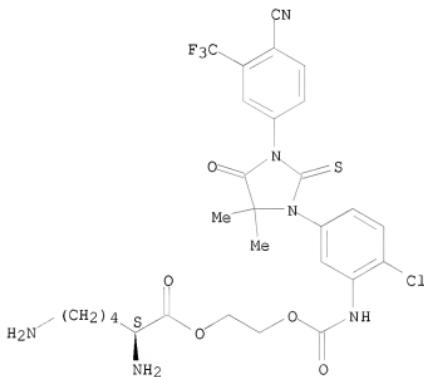
CN Glycine, N,N-dimethyl-, 2-[[[2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]amino]carbonyloxy]ethyl ester (CA INDEX NAME)



BN 879614-63-8 CPLUS

RR 0.94-0.95 [CA INDEX NAME]
 CN L-Lysine, 2-[(12-chloro-5-[3-(4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl)phenyl]amino]carbonyloxyethyl ester (CA INDEX NAME)

Absolute stereochemistry.

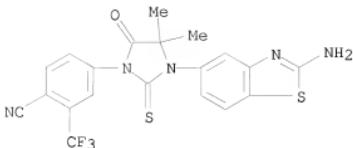


IT 879614-75-2P 879614-99-0P 879615-02-8P
879615-20-0P 879615-26-6P 879615-33-5P

879615-54-0P 879615-83-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

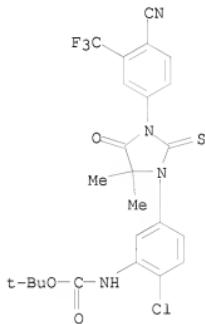
(preparation of

RN 879614-75-2 CAPLUS
CN Benzonitrile, 4-[3-(2-amino-5-benzothiazolyl)-4,4-dimethyl-5-oxo-2-thioxo-3-azabicyclo[3.1.0]hex-2-yl]benzyl (C3 INDEX NAME)



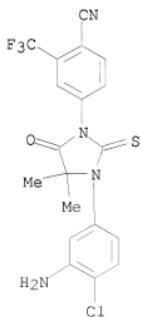
RN 879614-99-0 CAPLUS

CN Carbamic acid, [2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 879615-02-8 CAPLUS

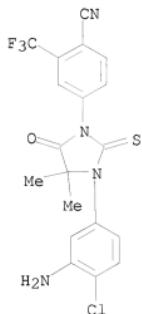
CN Benzonitrile, 4-[3-(3-amino-4-chlorophenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)



RN 879615-20-0 CAPLUS
CN Benzonitrile, 4-[3-(3-amino-4-chlorophenyl)-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

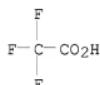
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CRN 879615-02-8
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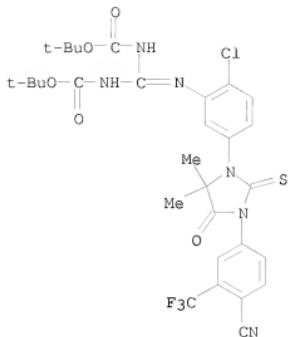


CM 2

CRN 76-05-1
CMF C2 H F3 O2

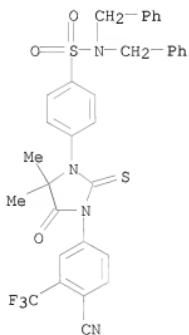


RN 879615-26-6 CAPLUS
CN Carbamic acid, {[2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]carbonimidoyl}bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



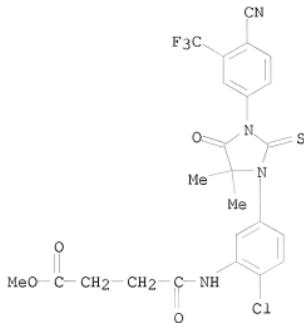
RN 879615-33-5 CAPLUS

CN Benzenesulfonamide, 4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]-N,N-bis(phenylmethyl)- (CA INDEX NAME)



RN 879615-54-0 CAPLUS

CN Butanoic acid, 4-[(2-chloro-5-[3-[4-cyano-3-(trifluoromethyl)phenyl]phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]amino]-4-oxo-, methyl ester (CA INDEX NAME)

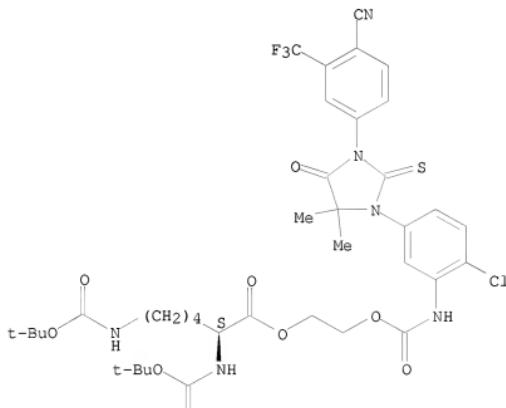


RN 879615-83-5 CAPLUS

CN L-Lysine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-, 2-[[[2-chloro-5-[3-(4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]phenyl]amino]carbonyl]oxy]ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

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OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
REFERENCE COUNT: 24 (4 CITINGS)
THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS